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NEWS	4	Oct 27 SET ABBREVIATIONS and SET PLURALS extended in Derwent World Patents Index files
NEWS	5	Oct 27 Patent Assignee Code Dictionary now available in Derwent Patent Files
NEWS	6	Oct 27 Plasdoc Key Serials Dictionary and Echoing added to Derwent Subscriber Files WPIDS and WPIX
NEWS	7	Nov 29 Derwent announces further increase in updates for DWPI
NEWS	8	Dec 5 French Multi-Disciplinary Database PASCAL Now on STN
NEWS	9	Dec 5 Trademarks on STN - New DEMAS and EUMAS Files
NEWS	10	Dec 15 2001 STN Pricing
NEWS	11	Dec 17 Merged CEABA-VTB for chemical engineering and biotechnology
NEWS	12	Dec 17 Corrosion Abstracts on STN
NEWS	13	Dec 17 SYNTHLINE from Prous Science now available on STN
NEWS	14	Dec 17 The CA Lexicon available in the CAPLUS and CA files
NEWS	15	Jan 05 AIDSILINE is being removed from STN
NEWS	16	Feb 06 Engineering Information Encompass files have new names
NEWS	17	Feb 16 TOXLINE no longer being updated
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NEWS HOURS		STN Operating Hours Plus Help Desk Availability
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STRUCTURE FILE UPDATES: 25 MAR 2001 HIGHEST RN 328896-39-5  
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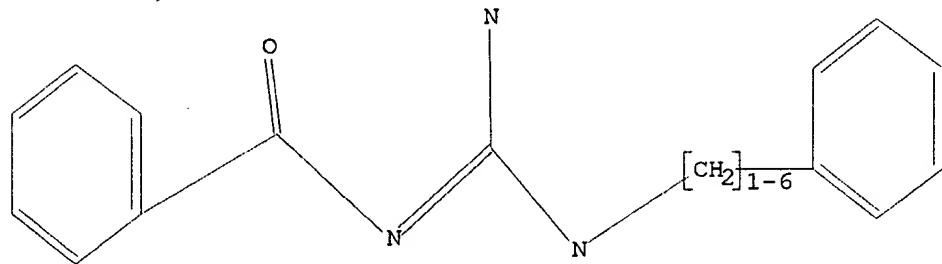
L1 STRUCTURE UPLOADED

=> que L1

L2 QUE L1

=> d 12

L2 HAS NO ANSWERS  
L1 STR



Structure attributes must be viewed using STN Express query preparation.  
L2 QUE L1

=> s 12

SAMPLE SEARCH INITIATED 10:14:11 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 46 TO ITERATE

100.0% PROCESSED 46 ITERATIONS  
SEARCH TIME: 00.00.02

7 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 514 TO 1326  
PROJECTED ANSWERS: 7 TO 298

L3 7 SEA SSS SAM L1

=> search 12

ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.

ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full

FULL SEARCH INITIATED 10:14:24 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 969 TO ITERATE

100.0% PROCESSED 969 ITERATIONS 81 ANSWERS  
SEARCH TIME: 00.00.04

L4 81 SEA SSS FUL L1

=> file caplus

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FULL ESTIMATED COST	135.42	135.87

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FILE COVERS 1967 - 26 Mar 2001 VOL 134 ISS 14  
FILE LAST UPDATED: 25 Mar 2001 (20010325/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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FILE 'REGISTRY' ENTERED AT 10:10:13 ON 26 MAR 2001

L1 STRUCTURE uploaded  
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L3 7 S L2  
L4 81 SEARCH L2 FULL

FILE 'CAPLUS' ENTERED AT 10:14:32 ON 26 MAR 2001

=> s 14

L5 17 L4

=> d fbib ab hitstr 1-17

L5 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2001 ACS  
AN 1999:282194 CAPLUS

DN 130:325015

TI Preparation of acylguanidines for the treatment or prophylaxis of neurological injury and neurodegenerative disorders

IN Durant, Graham J.; Padmanabhan, Seetharamaiyer

PA Cambridge Neuroscience, Inc., USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9920599	A1	19990429	WO 1998-US22309	19981020
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				RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG	
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				US 1997-64830	19971021
				WO 1998-US22309	19981020

OS MARPAT 130:325015

AB The title compds. [I (R = (un)substituted cyclic alkyl, carbocyclic aryl, alkylaryl, etc.; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkenyl, etc.; X = a bond, (un)substituted alkylene, alkenylene, etc.; R<sub>3</sub> = (un)substituted cyclic alkyl, carbocyclic aryl, alkylaryl, etc.), II (R and R<sub>1</sub> as above; R<sub>2</sub>, R<sub>3</sub> = H, halo, OH, etc.; W = (un)substituted methylene, S, O, etc.; m = 0-2; n = 0-4), III (R, R<sub>1</sub>-R<sub>3</sub> as above; Y = (un)substituted methylene, S, O, etc.; m, n = 0-4), etc.], particularly useful for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders, were prep'd. Thus, treatment of 4-methylbenzoyl chloride with 2-methyl-2-thiopseudourea

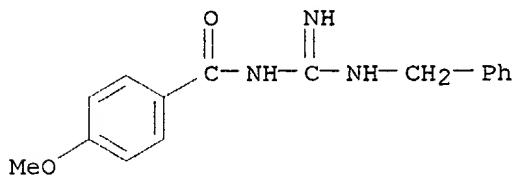
sulfate in 4% NaOH followed by reaction of the resulting N-(4-methylbenzoyl)-S-methylisothiourea with phenylbutylamine in the presence of Et<sub>3</sub>N afforded 84% IV.HCl which showed 75% seizure inhibition in the DBA/2 mouse model (mouse audiogenic assay) at 20 mg/kg.

IT 18787-58-1P 223685-39-0P 223685-40-3P  
 223685-41-4P 223685-44-7P 223686-44-0P  
 223686-45-1P 223686-47-3P 223686-48-4P  
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 223687-88-5P

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of acylguanidines for the treatment or prophylaxis of neurol. injury and neurodegenerative disorders)

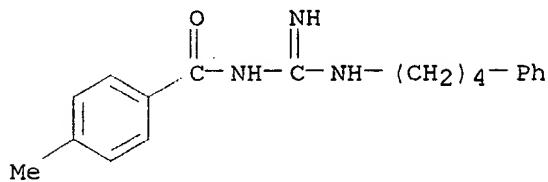
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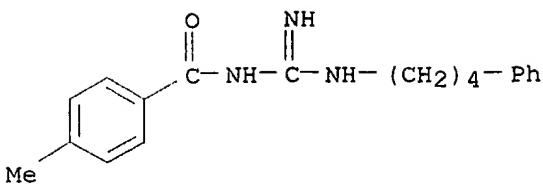
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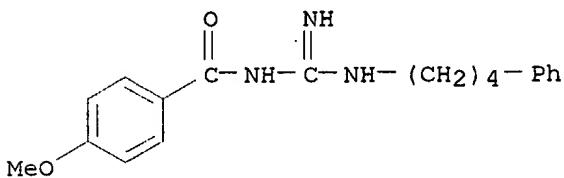
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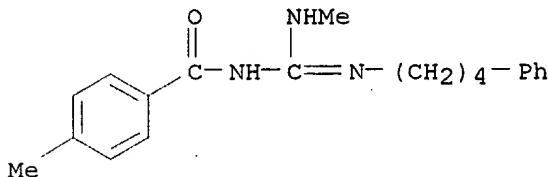


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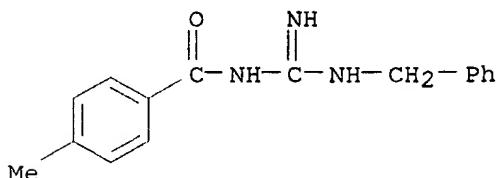
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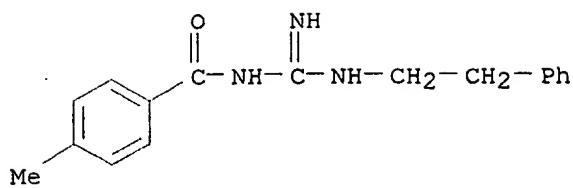


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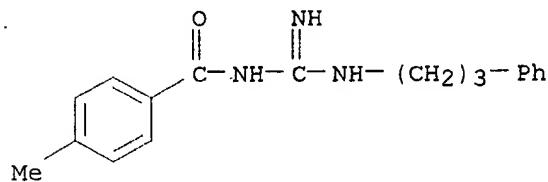
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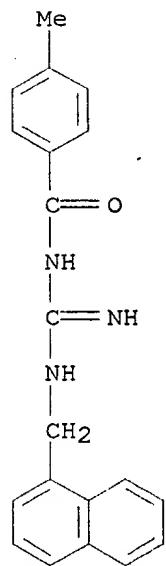
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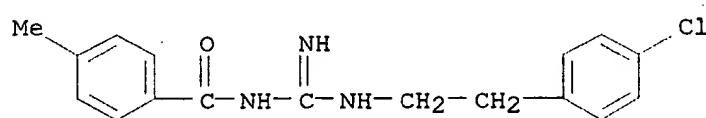
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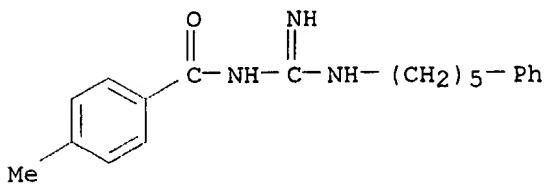
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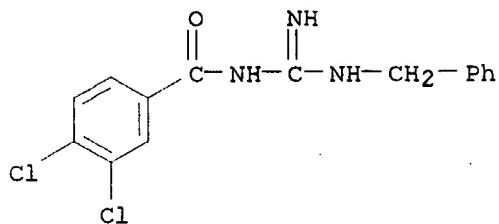
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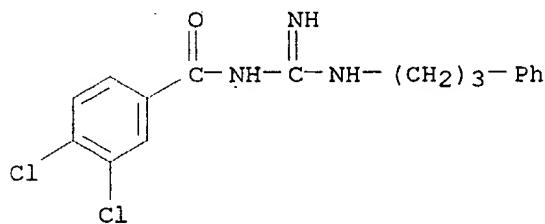
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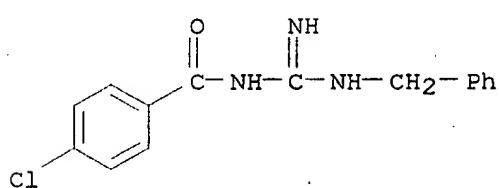
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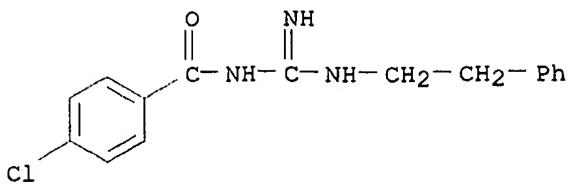
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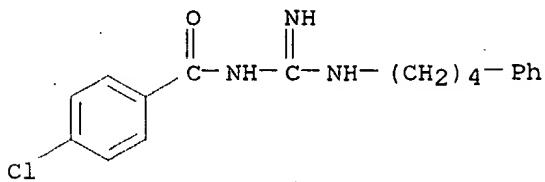
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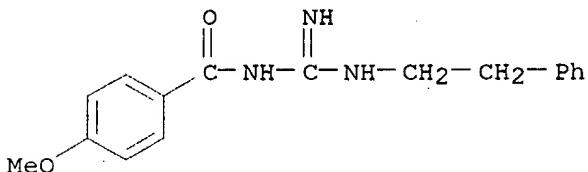
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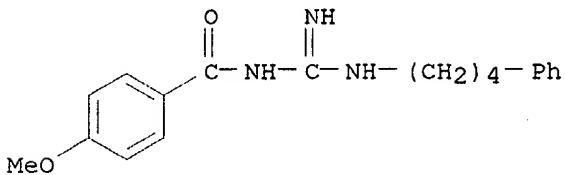
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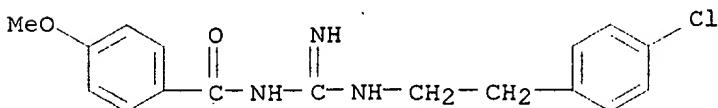
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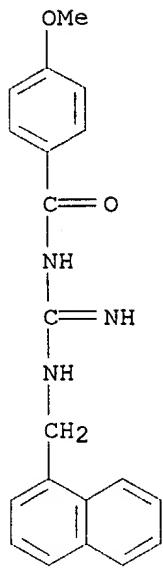


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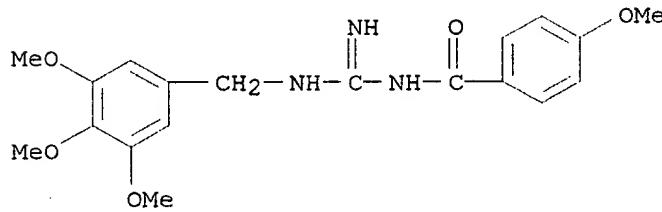
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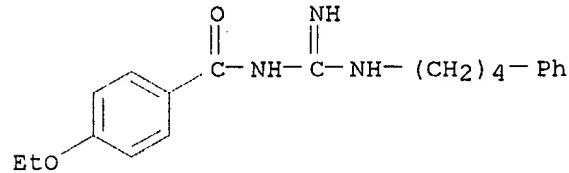
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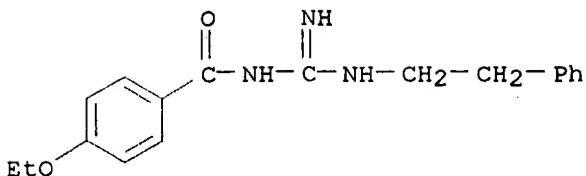
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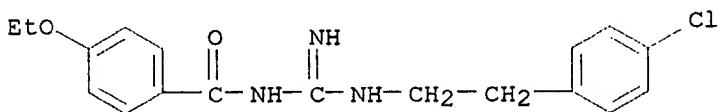


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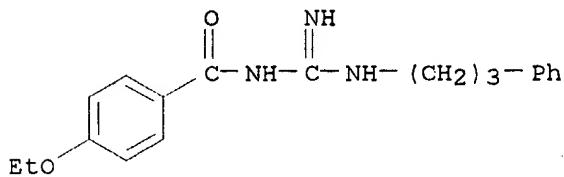
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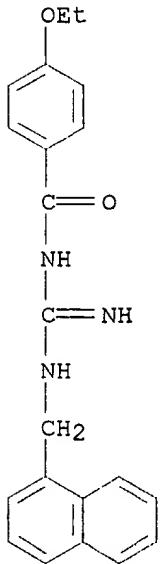
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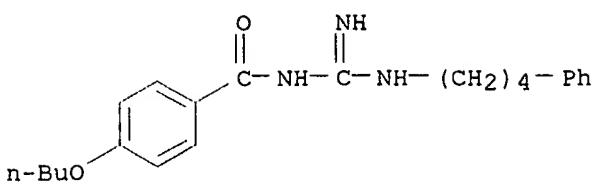
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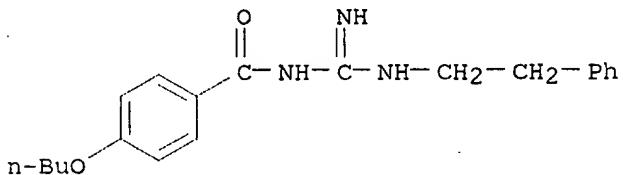
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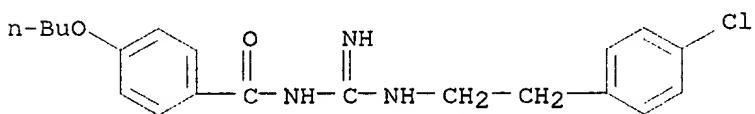
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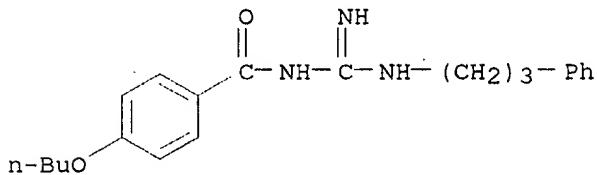
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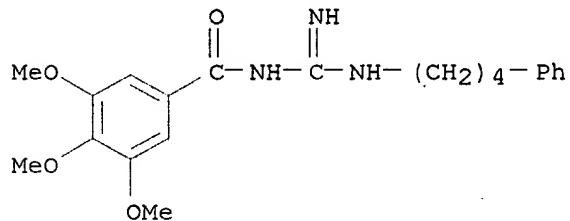
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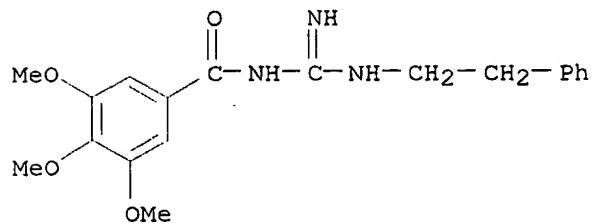
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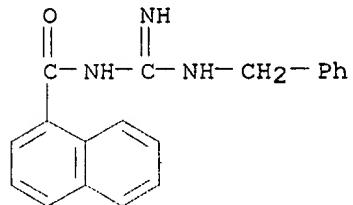
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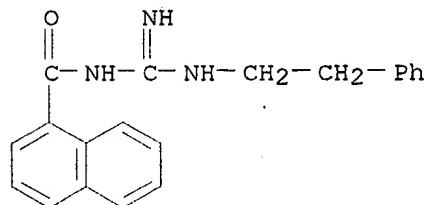
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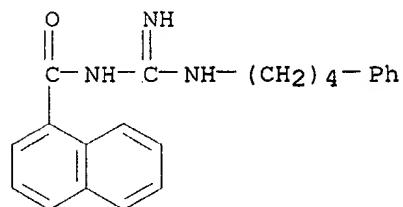
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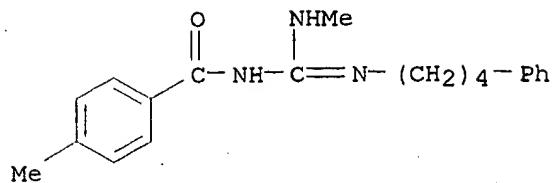
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(CA INDEX NAME)

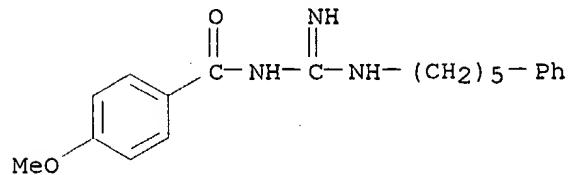


RN 223687-15-8 CAPLUS  
CN Benzamide, 4-methyl-N-[(methylamino)[(4-phenylbutyl)amino]methylene]-



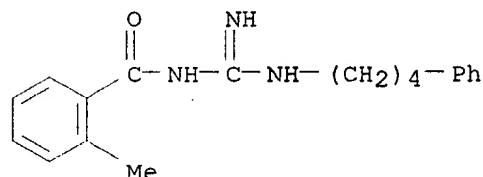
RN 223687-17-0 CAPLUS

CN Benzamide, N-[imino[(5-phenylpentyl)amino]methyl]-4-methoxy- (9CI) (CA INDEX NAME)



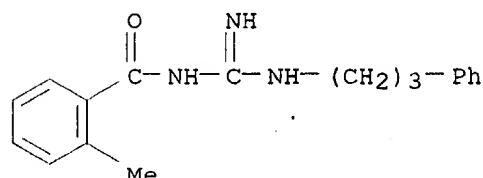
RN 223687-19-2 CAPLUS

CN Benzamide, N-[imino[(4-phenylbutyl)amino]methyl]-2-methyl- (9CI) (CA INDEX NAME)



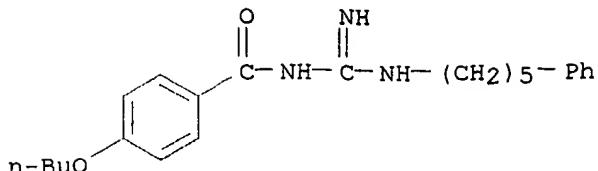
RN 223687-25-0 CAPLUS

CN Benzamide, N-[imino[(3-phenylpropyl)amino]methyl]-2-methyl- (9CI) (CA INDEX NAME)

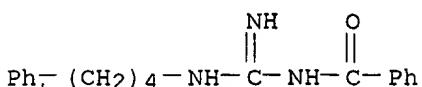


RN 223687-27-2 CAPLUS

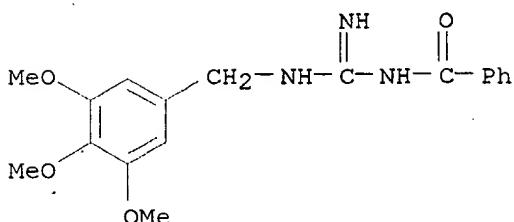
CN Benzamide, 4-butoxy-N-[imino[(5-phenylpentyl)amino]methyl]- (9CI) (CA INDEX NAME)



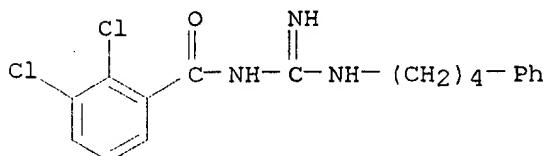
RN 223687-61-4 CAPLUS  
CN Benzamide, N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA INDEX NAME)



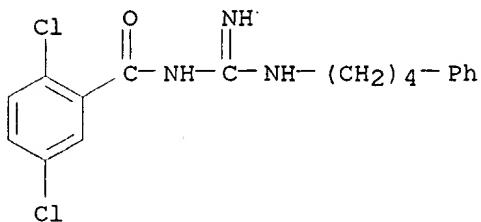
RN 223687-63-6 CAPLUS  
CN Benzamide, N-[imino[[ (3,4,5-trimethoxyphenyl)methyl]amino)methyl]- (9CI)  
(CA INDEX NAME)



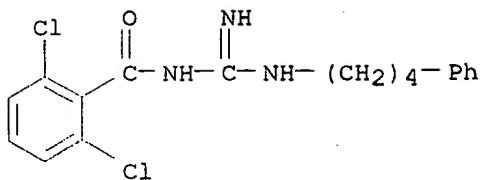
RN 223687-84-1 CAPLUS  
CN Benzamide, 2,3-dichloro-N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA  
INDEX NAME)



RN 223687-85-2 CAPLUS  
CN Benzamide, 2,5-dichloro-N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA  
INDEX NAME)

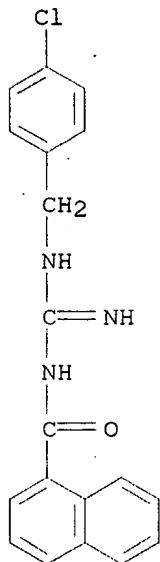


RN 223687-86-3 CAPLUS  
CN Benzamide, 2,6-dichloro-N-[imino[(4-phenylbutyl)amino]methyl]- (9CI) (CA  
INDEX NAME)



RN 223687-88-5 CAPLUS

CN 1-Naphthalenecarboxamide, N-[[[(4-chlorophenyl)methyl]amino]iminomethyl]-(9CI) (CA INDEX NAME)



RE.CNT 19

RE

- (1) Bayer; DE 2545647 A1 1977 CAPLUS
- (2) Beiersdorf Aktiengesellschaft; EP 0062844 A1 1982 CAPLUS
- (3) Buscemi; Journal of Heterocyclic Chemistry 1988, V25(3), P931 CAPLUS
- (5) Gund; Tetrahedron Letters 1972, 38, P3983 CAPLUS
- (6) Hamanaka; US 3972872 A 1976 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1999:13150 CAPLUS

DN 130:153238

TI Solid-phase synthesis of N-acyl-N'-carbamoylguanidines

AU Lin, Peishan; Ganesan, A.

CS Institute of Molecular and Cell Biology, National University of Singapore,

Singapore, 117609, Singapore

SO Tetrahedron Lett. (1998), 39(52), 9789-9792

CODEN: TELEAY; ISSN: 0040-4039

PB Elsevier Science Ltd.

DT Journal

LA English

AB Amino acids immobilized on polystyrene-Wang or Rink amide resin were reacted with p-nitrophenyl chloroformate to give an activated urethane that was displaced by S-methylisothiourea. Following N-acylation with an

acid chloride, the thiomethyl group was displaced by primary or secondary amines with the aid of mercury (II) chloride to yield the unsym. substituted title compds. after resin cleavage.

IT 220292-80-8P

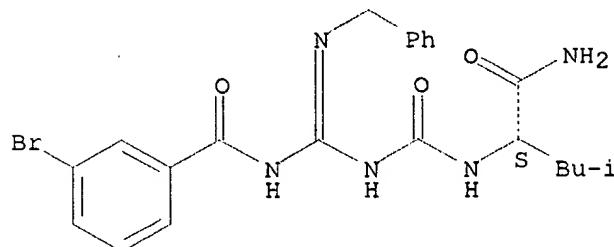
RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid-phase synthesis of N-acyl-N'-carbamoylguanidines)

RN 220292-80-8 CAPLUS

CN Benzamide,

N-[[[[[(1S)-1-(aminocarbonyl)-3-methylbutyl]amino]carbonyl]amin  
o][(phenylmethyl)amino]methylene]-3-bromo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 21

RE

(5) Berlinck, R; Fortschr Chem Org Naturst 1995, V66, P119 CAPLUS

(6) Berlinck, R; Nat Prod Rep 1996, V13, P377 CAPLUS

(8) Chandrakumar, N; Synth Commun 1996, V26, P2613 CAPLUS

(9) Dodd, D; Tetrahedron Lett 1998, V39, P5701 CAPLUS

(10) Drewry, D; Tetrahedron Lett 1997, V38, P3377 CAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1998:791584 CAPLUS

DN 130:124871

TI Solid phase synthesis of oligomeric guanidiniums

AU Schneider, Stephen E.; Bishop, Patricia A.; Salazar, Mary Alice; Bishop, Owen A.; Anslyn, Eric V.

CS Department of Chemistry and Biochemistry, The University of Texas at Austin, Austin, TX, 78712, USA

SO Tetrahedron (1998), 54(50), 15063-15086

CODEN: TETRAB; ISSN: 0040-4020

PB Elsevier Science Ltd.

DT Journal

LA English

AB Oligomers contg. guanidinium linkages prepd. via solid phase org. synthesis are of interest as possible therapeutic agents and in the assembly of supramol. architectures. Efficient routes to these oligomers must be developed before their potential may be fully realized. Herein, four routes for their stepwise solid phase synthesis are described. In the first, a resin-bound thiourea was converted to a guanidinium using 2-chloro-1-methylpyridinium iodide. The second method utilized

aza-Wittig

couplings to prep. guanidiniums from resin-bound carbodiimides. Next, highly activated monomers prepd. from bis-tert-butyloxycarbonylthioureas and 2,4-dinitrofluorobenzene formed guanidiniums upon reaction with terminal amines. The optimum route, however, relied upon the 1-(3-dimethylaminopropyl)-3-ethylcarbodiimide hydrochloride promoted coupling of a protected thiourea monomer with a resin-bound amine to produce the guanidinium linkage. The thiourea monomers for this method are easily prepd. from mono-protected diamines and benzoyl or Fmoc isothiocyanate. The procedure is straightforward proceeds cleanly in a

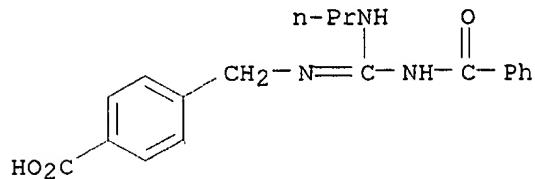
relatively short period of time, and is compatible with several functional groups.

IT 219800-73-4P 219800-75-6P 219800-77-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)  
(solid phase synthesis of oligomeric guanidinium compds.)

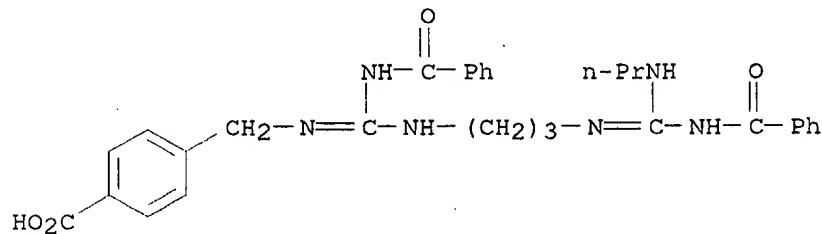
RN 219800-73-4 CAPLUS

CN Benzoic acid, 4-[[[(benzoylamino)(propylamino)methylene]amino]methyl]-  
(9CI) (CA INDEX NAME)



RN 219800-75-6 CAPLUS

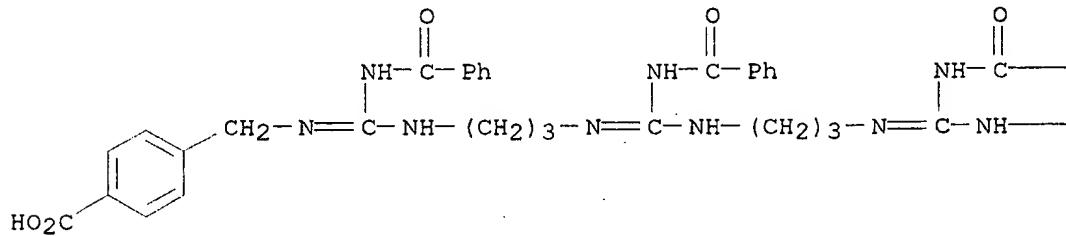
CN Benzoic acid, 4-[3,9-bis(benzoylamino)-2,4,8,10-tetraazatrideca-2,8-dien-1-yl]-  
(9CI) (CA INDEX NAME)



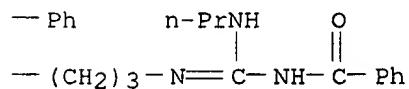
RN 219800-77-8 CAPLUS

CN Benzoic acid, 4-[3,9,15,21-tetrakis(benzoylamino)-2,4,8,10,14,16,20,22-octaazapentacosa-2,8,14,20-tetraen-1-yl]-  
(9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



RE

(1) Albert, J; *Bioorg Med Chem* 1997, V5, P1455 CAPLUS  
 (2) Appella, D; *J Am Chem Soc* 1996, V118, P13071 CAPLUS  
 (3) Appella, D; *Nature* 1997, V387, P381 CAPLUS  
 (4) Astles, P; *Bioorg Med Chem Lett* 1997, V7, P907 CAPLUS  
 (5) Baird, E; *J Am Chem Soc* 1996, V118, P6141 CAPLUS  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1993:147506 CAPLUS

DN 118:147506

TI Synthesis and histamine H<sub>2</sub> agonistic activity of arpromidine analogs: replacement of the pheniramine-like moiety by non-heterocyclic groups.

AU Buschauer, A.; Friese-Kimmel, A.; Baumann, G.; Schunack, W.

CS Inst. Pharm., Freie Univ. Berlin, Berlin, W-1000/33, Germany

SO Eur. J. Med. Chem. (1992), 27(4), 321-30

CODEN: EJMCA5; ISSN: 0223-5234

## DT Journal

LA English

OS CASREACT 118:147506

AB Analogs of the potent histamine H<sub>2</sub> agonist arpromidine (I), characterized by nonheterocyclic groups (Ph, cyclohexyl, alkyl) instead of the pheniramine-like portion, were prep'd. and tested for their H<sub>2</sub> agonistic and H<sub>1</sub> antagonistic activity in the isolated guinea pig right atrium and ileum, resp. In the diphenylpropylguanidine series, an increase in H<sub>2</sub> agonistic potency resulted from mono- or difluorination at one or both Ph rings in the meta and/or para position (pD<sub>2</sub> 1 to req. 7.75 vs pD<sub>2</sub> = 7.15 for the unsubstituted parent compd.). Compds. chlorinated at both Ph rings were considerably less potent. Highest combined H<sub>2</sub> agonistic/H<sub>1</sub> antagonistic potency was found in the 4-fluorophenyl series. The arpromidine analog with cyclohexyl and Me group instead of Ph and pyridine

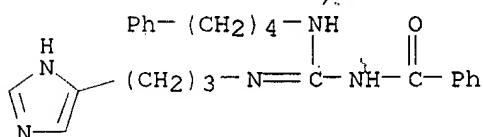
ring was 30 times more potent than histamine in the atrium. The H1 antagonistic potency in cyclohexyl compds. was lower than in the diaryl series. Thus, arom. rings appear not to be required for high H2 antagonistic.

agonistic potency but are useful for combined H2 agonistic/H1 antagonistic activity.

IT 106668-78-4P 144290-35-7P 144290-36-8P  
144290-37-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

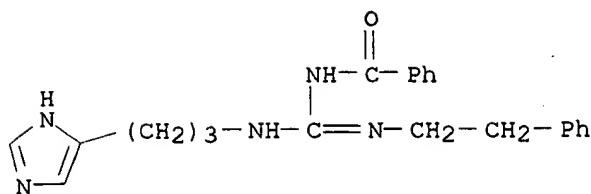
(prep. and debe

RN 106668-78-4 CAPLUS  
CN Benzamide, N-[(3-(1H-imidazol-4-yl)propyl)amino][(4-phenylbutyl)amino]methylene]- (9CI) (CA INDEX NAME)



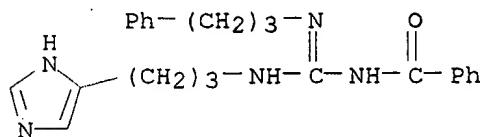
RN 144290-35-7 CAPLUS

CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino][(2-phenylethyl)amino]methylene]- (9CI) (CA INDEX NAME)



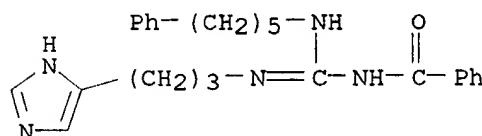
RN 144290-36-8 CAPLUS

CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino][(3-phenylpropyl)amino]methylene]- (9CI) (CA INDEX NAME)



RN 144290-37-9 CAPLUS

CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino][(5-phenylpentyl)amino]methylene]- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1991:429165 CAPLUS

DN 115:29165

TI Synthesis and reaction of 2-imino-1,3-thiazetidines and 2-imino-1,3-dithietanes

AU Okajima, Nobuyuki; Okada, Yoshiyuki

CS Plant Protect. Res. Lab., Takeda Chem. Ind. Co., Ltd., Osaka, 532, Japan

SO J. Heterocycl. Chem. (1991), 28(1), 177-85

CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

OS CASREACT 115:29165

AB 2-Imino-1,3-thiazetidines and 2-imino-1,3-dithietanes were synthesized and their reactivities were studied. The former readily underwent ring-opening reaction with amines to yield guanidine derivs. The reaction

products were applied to the synthesis of heterocycles such as triazoles and triazines. The latter was converted to isothiocyanates by the reaction of m-chloroperbenzoic acid. Thus, the thiazetidine I, prep'd. in quant. yield from 2,4-C12C6H3CONHC(S)NHC6H4Cl-4 and CH2I2, was treated with HN:C(SMe)NH2.1/2H2SO4 to give the triazine II in 85% yield.

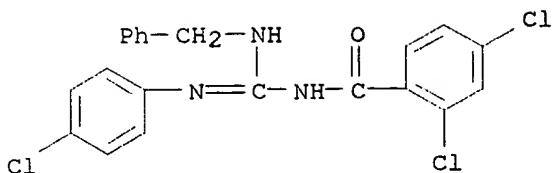
IT 133476-45-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 133476-45-6 CAPLUS

CN Benzamide,

2,4-dichloro-N-[(4-chlorophenyl)amino][(phenylmethyl)amino]methylenene- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1991:185043 CAPLUS

DN 114:185043

TI Preparation of guanidinedicarbonyl derivatives as anxiolytics

IN Tomcufcik, Andrew S.; Dixon, James S.; Epstein, Joseph W.; Birnberg, Gary H.; Fanshawe, William J.

PA American Cyanamid Co., USA

SO U.S., 22 pp. Cont.-in-part of U.S. Ser. No. 860,406, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4977189	A	19901211	US 1988-217518	19880711
				US 1986-860406	19860507

OS MARPAT 114:185043

AB The title compds. [I; R1 = Cl-10 alkyl, biphenyl, phenylalkyl, phenoxyalkyl, naphthyl, adamantyl, C5-7 cycloalkenyl, (substituted) Ph, etc.; R2 = dialkylamino Cl-3 alkyl, (substituted) Ph or benzyl; R3 = H, Cl-6 alkyl; R4 = H, halo, CF3, NO2, Cl-6 alkyl, Cl-3 alkoxy], anxiolytics useful in the treatment of hypoxia and amnesia, were prep'd. For example, an equimolar mixt. of 3-MeC6H4CON:C(SMe)NHCOOC6H4Me-4 (prepn. from 3-MeC6H4CON:C(SMe)NH2.cntdot.HI and 4-MeC6H4COCl given) and

4-NH2C6H4CONH2

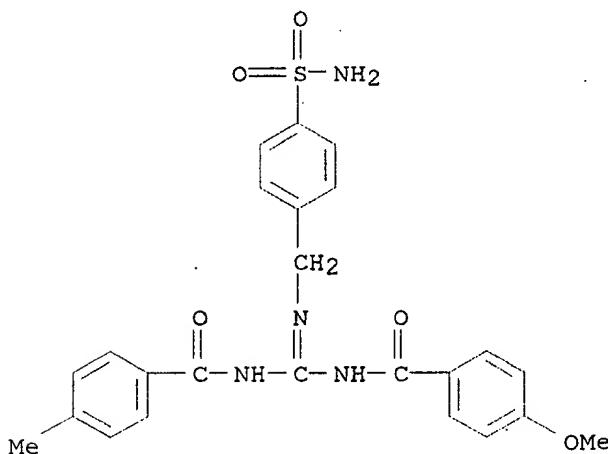
in Me2CHOH was refluxed 14 h to give title compd. I (R1 = 3-MeC6H4, R2 = 4-H2NCOC6H4, R3 = H, R4 = 4-Me) (II). The latter in rats inhibited 3H-benzodiazepine binding to brain-specific receptors by 85%.

IT 133244-52-7P 133244-53-8P 133278-52-1P

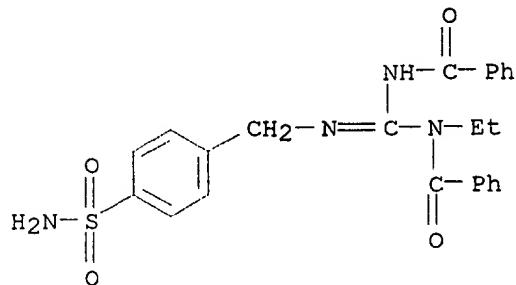
RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as anxiolytic)

RN 133244-52-7 CAPLUS

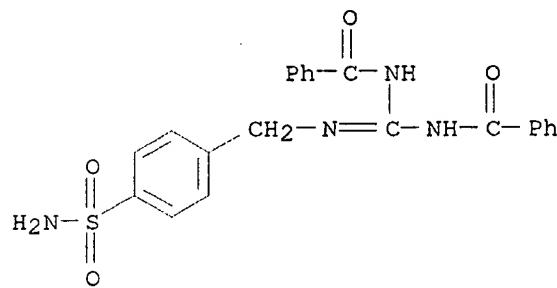
CN Benzamide, N-[[[4-(aminosulfonyl)phenyl]methyl]amino][(4-methoxybenzoyl)amino]methylene]-4-methyl- (9CI) (CA INDEX NAME)



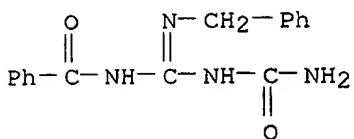
RN 133244-53-8 CAPLUS  
 CN Benzamide,  
 N-[[[4-(aminosulfonyl)phenyl]methyl]amino](benzoylimino)methyl  
 ]-N-ethyl- (9CI) (CA INDEX NAME)



RN 133278-52-1 CAPLUS  
 CN Benzamide, N,N'-[[[4-(aminosulfonyl)phenyl]methyl]carbonimidoyl]bis-  
 (9CI)  
 (CA INDEX NAME)



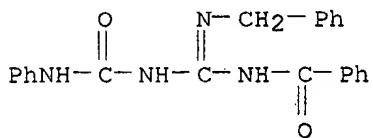
L5 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2001 ACS  
 AN 1989:231237 CAPLUS  
 DN 110:231237  
 TI Action of amines on 1-benzoyl-2-thiobiuret and its 5-phenyl derivative  
 AU Fouli, F. A.; Shaban, M. E.; Youssef, A. S. A.  
 CS Fac. Sci., Ain Shams Univ., Cairo, Egypt  
 SO Egypt. J. Chem. (1987), Volume Date 1986, 29(4), 453-7  
 CODEN: EGJCA3; ISSN: 0367-0422  
 DT Journal  
 LA English  
 AB Treatment of BzNHCSNHCONHR (I, R = H) with BuNH<sub>2</sub> gave cyclized product II along with substitution product BzNHC(NHR<sub>1</sub>):NCONHR (III, R = H, R<sub>1</sub> = Bu). Treatment of I (R = H, Ph) with PhCH<sub>2</sub>NH<sub>2</sub> gave III (R = H, Ph; R<sub>1</sub> = CH<sub>2</sub>Ph), while reaction of I (R = Ph) with BuNH<sub>2</sub> gave BzNHBu and H<sub>2</sub>NCSNHCONHPh. Thiol tautomers of I were also isolated in all reactions.  
 IT 120781-38-6P 120781-39-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 120781-38-6 CAPLUS  
 CN Benzamide, N-[(aminocarbonyl)amino][(phenylmethyl)amino]methylene]-  
 (9CI)  
 (CA INDEX NAME)



RN 120781-39-7 CAPLUS

CN Benzamide,

N-[[[(phenylamino)carbonyl]amino][(phenylmethyl)amino]methylene]  
]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1987:84609 CAPLUS

DN 106:84609

TI (Imidazolylalkyl)guanidines and their use as histamine H1 antagonists and H2 agonists

IN Buschauer, Armin; Schickaneder, Helmut; Schunack, Walter; Elz, Sigurd; Szelenyi, Istvan; Baumann, Gert; Ahrens, Kurt Henning

PA Heumann Pharma G.m.b.H. und Co., Fed. Rep. Ger.

SO Eur. Pat. Appl., 209 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 199845	A1	19861105	EP 1985-114205	19851107
	EP 199845	B1	19900801		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
				DE 1985-3512084	19850402
				DE 1985-3528214	19850806
				DE 1985-3528215	19850806
	DE 3512084	A1	19861009	DE 1985-3512084	19850402
	DE 3528214	A1	19870212	DE 1985-3528214	19850806
	DE 3528215	A1	19870212	DE 1985-3528215	19850806
	AT 55126	E	19900815	AT 1985-114205	19851107
				DE 1985-3512084	19850402
				DE 1985-3528214	19850806
				DE 1985-3528215	19850806
				EP 1985-114205	19851107

PATENT FAMILY INFORMATION:

FAN 1987:102282

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3512084	A1	19861009	DE 1985-3512084	19850402
	EP 199845	A1	19861105	EP 1985-114205	19851107
	EP 199845	B1	19900801		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
				DE 1985-3512084	19850402
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				DE 1985-3528215	19850806
	AT 55126	E	19900815	AT 1985-114205	19851107
				DE 1985-3512084	19850402

HU 41392	A2	19870428	DE 1985-3528214	19850806
HU 198024	B	19890728	DE 1985-3528215	19850806
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			HU 1985-4424	19851120
DK 8505388	A	19861003	DE 1985-3512084	19850402
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DK 165367	C	19930405	DE 1985-3528215	19850806
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IL 77492	A1	19911215	DE 1985-3512084	19850402
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			DE 1985-3528215	19850806
ZA 8600006	A	19860827	IL 1985-77492	19851231
AU 8651828	A1	19861009	DE 1985-3512084	19850402
AU 589586	B2	19891019	DE 1985-3528214	19850806
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CA 1266657	A1	19900313	ZA 1986-6	19860102
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			AU 1986-51828	19860102
ES 550875	A1	19880401	DE 1985-3512084	19850402
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			DE 1985-3528215	19850806
JP 61236771	A2	19861022	DE 1985-3512084	19850402
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ES 557693	A1	19880301	ES 1987-557692	19870828
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			DE 1985-3528215	19850806
US 5021431	A	19910604	ES 1987-557693	19870828
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			DE 1985-3528215	19850806
			US 1989-318467	19890228
			DE 1985-3512084	19850402
			DE 1985-3528214	19850806
			DE 1985-3528215	19850806
			US 1985-802976	19851129

AB The title compds. I [R = substituted Ph, naphthyl, pyridinyl, thiazolyl, imidazolyl; R1 = H, Bz; R2 = H, Me; Z = (un)substituted alkylene, oxaalkylene, azaalkylene, thiaalkylene, etc.; n = 2, 3] were prep'd. for treatment of heart and circulatory system disorders. Thus, 2-[(2-[(dimethylamino)methyl]-5-methylimidazol-4-yl)methyl]thio]ethylamine, 3-imidazol-4-ylpropylamine, and BzN:C(OPh)2 were stirred together in MeCN to give 10% benzoylguanidine II (R3 = Bz). This was debenzoylated by refluxing in aq. HCl to give 95% II.4HCl (R3 = H) (III). III is a histamine H1 receptor antagonist (pA2 = 5.50) and an

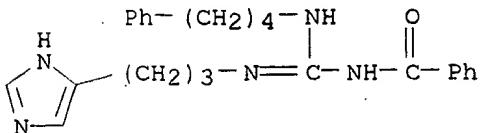
H2 receptor agonist (pD2 = 7.17) in isolated guinea pig ileum and atrium  
preps., resp.

IT 106668-78-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as antihistaminic and cardiovascular agent)

RN 106668-78-4 CAPLUS

CN Benzamide, N-[[[3-(1H-imidazol-4-yl)propyl]amino][(4-  
phenylbutyl)amino]methylene]- (9CI) (CA INDEX NAME)



L5 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1986:552653 CAPLUS

DN 105:152653

TI The reaction of dimethyl N-benzoylcarbonimidodithioates with amines

AU Fukada, Naoaki; Hayashi, Masahiro; Suzuki, Yukari

CS Fac. Sci., Chiba Univ., Chiba, 260, Japan

SO Bull. Chem. Soc. Jpn. (1985), 58(11), 3379-80

CODEN: BCSJA8; ISSN: 0009-2673

DT Journal

LA English

OS CASREACT 105:152653

AB RCON:C(SMe)2 (I; R = 2-MeC6H4, 4-O2NC6H4) reacted with R1R2NH (R1 = PhCH2,

Ph; R2 = H; R1R2 = CH2CH2OCH2CH2) in ETOH to give 55-97%

RCON:C(SMe)NR1R2.

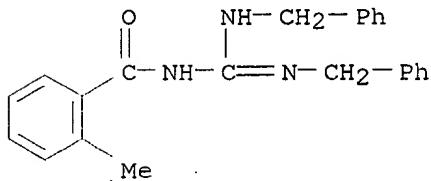
I reacted with R1R2NH in refluxing xylene to give 57-84% RCON:C(NR1R2)2.  
Similarly 94-96% imidazolidines II and 63% oxazolidine III were prep'd. by  
treating I with H2NCH2CH2NH2 and H2NCH2CH2OH, resp.

IT 104496-52-8P 104496-56-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

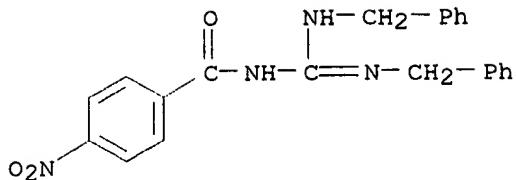
RN 104496-52-8 CAPLUS

CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-2-methyl- (9CI) (CA  
INDEX NAME)



RN 104496-56-2 CAPLUS

CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-4-nitro- (9CI) (CA  
INDEX  
NAME)



L5 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1984:138782 CAPLUS

DN 100:138782

TI N-Aroyl- and hetaryl imides

IN Augustin, Manfred; Richter, Monika; Strauss, Karin

PA Ger. Dem. Rep.

SO Ger. (East), 10 pp.

CODEN: GEXXA8

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DD 200618	Z	19830525	DD 1981-233863	19811005
AB	RCON:CR1R2 [R = halo (un)substituted Ph, PhCH:CH, furylvinyl, furyl, pyridyl, thienyl; R1, R2 = SH, MeS, Cl-5 alkylamino, arylamino, (un)substituted aryl, PhCH2NH, cyclohexylamino] were prepd. by treating RCONH2 with isothiocyanates [to give RCON:C(SX)NHY [X = H, Me, Cl-5 alkyl, nuclear halo (un)substituted PhCOCH2, Y = Cl-5 alkyl, halo (un)substituted aryl, cyclohexyl, PhCH2] or with carbodiimides [to give RCON:C(NHY)2]. Successively treating 2-pyridinecarboxamide in DMF or Me2SO with NaH under				

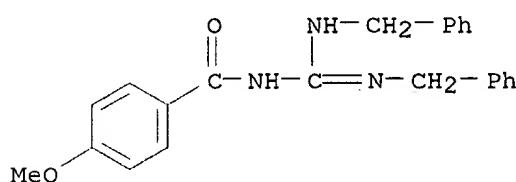
N2, PhNCO with 4 h stirring, and with MeI in DMF gave pyridinecarboximide I. The carboximides are possible candidates for protective agents (no further information).

IT 74074-33-2P 88241-07-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

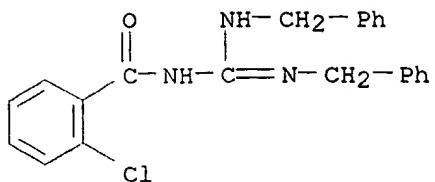
RN 74074-33-2 CAPLUS

CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-4-methoxy- (9CI) (CA INDEX NAME)

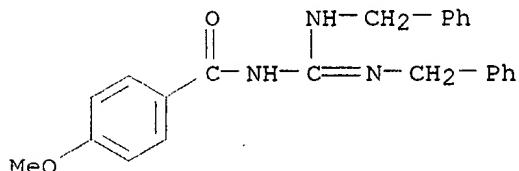


RN 88241-07-0 CAPLUS

CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-2-chloro- (9CI) (CA INDEX NAME)



L5 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2001 ACS  
 AN 1980:426307 CAPLUS  
 DN 93:26307  
 TI Reactions with N-acylimino dithiocarbonic acid diesters  
 AU Augustin, M.; Richter, M.; Salas, S.  
 CS Sekt. Chem., Martin-Luther-Univ. Halle-Wittenberg, Halle/Saale, DDR-4020,  
     Ger. Dem. Rep.  
 SO J. Prakt. Chem. (1980), 322(1), 55-68  
 CODEN: JPCEAO; ISSN: 0021-8383  
 DT Journal  
 LA German  
 AB  $\text{RC}_6\text{H}_4\text{CON:C(SMe)}_2$  (I; R = H, 2-Cl, 4-MeO, 4-NO<sub>2</sub>), prepd. by the  
     methylation  
     of  $\text{RC}_6\text{H}_4\text{CONHCS}_2\text{Me}$ , reacted with nucleophiles to give heterocycles. Thus,  
     reaction of I with 2-HZC<sub>n</sub>H<sub>4</sub>NH<sub>2</sub> (Z = O, S, NH) gave II and with  
     H<sub>2</sub>N(CH<sub>2</sub>)<sub>n</sub>NH<sub>2</sub> (n = 2, 3, 4, 6) gave III or  $[\text{RC}_6\text{H}_4\text{CON:C(SMe)}\text{NH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{N}]_2$ . I  
     (R = H), reacted with hydrazines, or BzNH<sub>2</sub>, to give IV (R<sub>1</sub> = H, Ph),  
      $\text{RC}_6\text{H}_4\text{CON:C(SMe)}\text{NHNBz}$ , or V. Reaction of I with guanidines, (H<sub>2</sub>N)<sub>2</sub>CS or  
     its salts, or amidine gave the triazines VI (R = H, 2-Cl, 4-OMe; R<sub>2</sub> =  
     SMe,  
     OEt; R<sub>3</sub> = NH<sub>2</sub>, SH, Ph, SMe). BzCONHCS<sub>2</sub>Me reacted with CH-acidic compds.  
     to give the thiazoles VII (R<sub>4</sub> = Ph, Bz, COC<sub>6</sub>H<sub>4</sub>Br-4).  
 IT 74074-33-2P  
     RL: SPN (Synthetic preparation); PREP (Preparation)  
         (prepn. of)  
 RN 74074-33-2 CAPLUS  
 CN Benzamide, N-[bis[(phenylmethyl)amino]methylene]-4-methoxy- (9CI) (CA  
     INDEX NAME)



L5 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2001 ACS  
 AN 1972:563827 CAPLUS  
 DN 77:163827  
 TI Novel reaction of guanidine with benzaldehydes  
 AU Gund, P.; Berkelhammer, G.; Wayne, R. S.  
 CS Chem. Res. Dev. Lab., Am. Cyanamid Co., Princeton, N. J., USA  
 SO Tetrahedron Lett. (1972), (38), 3983-6  
 CODEN: TELEAY  
 DT Journal  
 LA English  
 AB ArCHO (Ar = p-Cl- or p-Me-C<sub>6</sub>H<sub>4</sub>, or Ph) with (NH<sub>2</sub>)<sub>2</sub>C:NH·0.5H<sub>2</sub>CO<sub>3</sub> in  
     MeONa-EtOH gave, on treatment with concd. HCl, ArCONHC(:NH·HCl)-NHCH<sub>2</sub>Ar,  
     ArCO<sub>2</sub>H, and ArCH<sub>2</sub>OH. The mechanism may involve successive formation of  
     ArCH:OC(:NH)NH<sub>2</sub> and ArCH:-NC(:NH)NHCH(OH)Ar (I) with subsequent intramol.

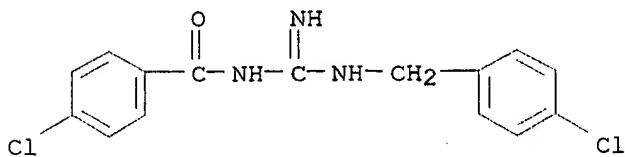
hydride shift in the oxyanion of I.

IT 38570-12-6P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

RN 38570-12-6 CAPLUS

CN Benzamide, 4-chloro-N-[[[(4-chlorophenyl)methyl]amino]iminomethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

L5 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1971:420936 CAPLUS

DN 75:20936

TI Guanidines. VII. Guanidilation of amino acids by N-acyl+pseudoureas

AU Nowak, Kornel

CS Akad. Med., Wroclaw, Pol.

SO Rocz. Chem. (1970), 44(10), 1905-10

CODEN: ROCHAC

DT Journal

LA Polish

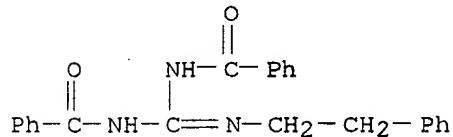
AB In this abstr. Z = PhCH<sub>2</sub>O<sub>2</sub>C. Reaction of ZNH<sub>2</sub>(SMe):NH (I) or ZCONH<sub>2</sub>(OMe):NH with amines, amino acids, or amino acid amides gave substituted guanidines, R<sub>1</sub>CH<sub>2</sub>C(NHR<sub>2</sub>)NHR<sub>3</sub> (II, R<sub>1</sub> = H or Bz; R<sub>2</sub> = Z, Bz, or PhCH<sub>2</sub>; R<sub>3</sub> = PhCH<sub>2</sub>, Ph(CH<sub>2</sub>)<sub>2</sub>, CH<sub>2</sub>CO<sub>2</sub>H, etc.). I reacted with amino acid esters to give 2-imino-4-imidazolidinones (III) or their isomers (IV). Reaction of H<sub>2</sub>NCH<sub>2</sub>CONHCH<sub>2</sub>Ph with ZNH<sub>2</sub>(CH<sub>2</sub>Ph)CONH<sub>2</sub>(SMe):NZ in MeOH gave ZNH<sub>2</sub>(CH<sub>2</sub>Ph)CO<sub>2</sub>Me and ZNH<sub>2</sub>(:NH)NHCH<sub>2</sub>CONHCH<sub>2</sub>Ph. Thus, I was refluxed with PhCH<sub>2</sub>NH<sub>2</sub>.HCl in EtOH and the product treated with NET<sub>3</sub> to give II (R<sub>1</sub> = H, R<sub>2</sub> = Z, R<sub>3</sub> = PhCH<sub>2</sub>). I was heated with H<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>Et.HCl in MeOH to give III or IV (R = H). Similarly prepd. was III (R = Me).

IT 22102-74-5P 23121-41-7P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

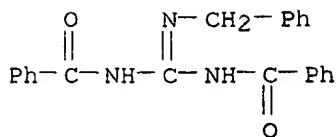
RN 22102-74-5 CAPLUS

CN Guanidine, 1,2-dibenzoyl-3-phenethyl- (8CI) (CA INDEX NAME)



RN 23121-41-7 CAPLUS

CN Guanidine, 1,2-dibenzoyl-3-benzyl- (8CI) (CA INDEX NAME)



L5 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1971:136938 CAPLUS

DN 74:136938

TI Antituberculous activity of guanidine derivatives

AU Malyuga, O. A.; Galanova, R. Ya.; Petrenko, G. M.; Mukhina, N. A.;

Semukhina, G. V.

CS Novokuznetsk. Nauchno-Issled. Khim.-Farm. Inst., Novokuznetsk, USSR

SO Khim.-Farm. Zh. (1971), 5(3), 12-16

CODEN: KHFZAN

DT Journal

LA Russian

AB 1-Isonicotinamido guanidine, 1-benzyl-3-cinnamoyl guanidine, 1-benzyl-3-(4-methoxybenzoyl)-guanidine, and 1-benzyl-3-(4-bromobenzoyl)guanidine had the strongest bacteriostatic activity of 25 guanidine derivs. (I) tested against *Mycobacterium tuberculosis* strains H37Rv and Academia. The tuberculostatic activity of the compds. was not affected in the presence of 10% normal horse serum. None of the guanidine

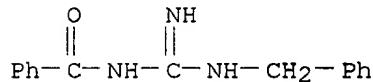
derivs. tested had any significant inhibitory effect on the growth of *M. tuberculosis* strain Avium P.

IT 18787-57-0 18787-58-1 18787-59-2  
32451-27-7 32514-44-6 32514-45-7

RL: BAC (Biological activity or effector, except adverse); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
(antitubercular activity of)

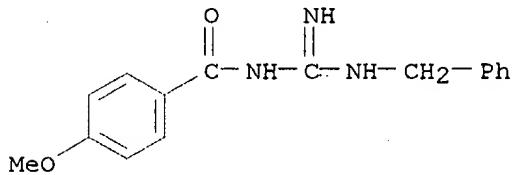
RN 18787-57-0 CAPLUS

CN Benzamide, N-[imino[(phenylmethyl)amino]methyl]- (9CI) (CA INDEX NAME)



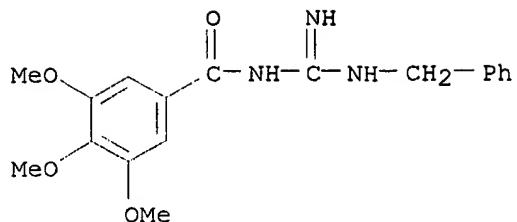
RN 18787-58-1 CAPLUS

CN Benzamide, N-[imino[(phenylmethyl)amino]methyl]-4-methoxy- (9CI) (CA INDEX NAME)

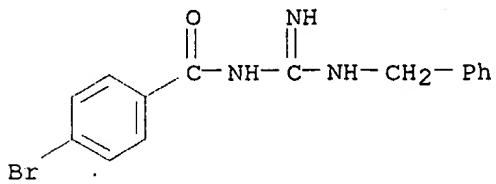


RN 18787-59-2 CAPLUS

CN Benzamide, N-[imino[(phenylmethyl)amino]methyl]-3,4,5-trimethoxy- (9CI)  
(CA INDEX NAME)

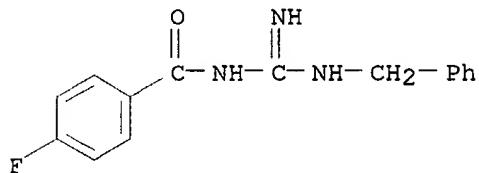


RN 32451-27-7 CAPLUS  
 CN Benzamide, N-(benzylamidino)-p-bromo-, monohydrochloride (8CI) (CA INDEX  
 NAME)



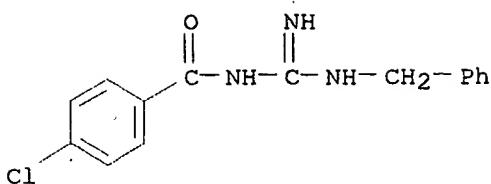
● HCl

RN 32514-44-6 CAPLUS  
 CN Benzamide, N-(benzylamidino)-p-fluoro-, monohydrochloride (8CI) (CA  
 INDEX  
 NAME)



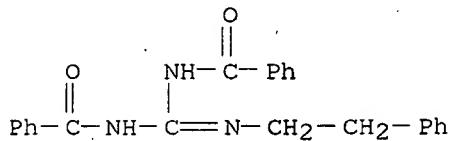
● HCl

RN 32514-45-7 CAPLUS  
 CN Benzamide, N-(benzylamidino)-p-chloro-, monohydrochloride (8CI) (CA  
 INDEX  
 NAME)

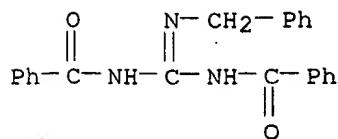


● HCl

L5 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2001 ACS  
 AN 1969:115526 CAPLUS  
 DN 70:115526  
 TI Guanidine derivatives of amino acids and their derivatives by  
 N-acyl-S-methylisothiourea  
 AU Nowak, Kornel  
 CS Akad. Med. Wroclaw, Wroclaw, Poland  
 SO Rocz. Chem. (1969), 43(1), 231-2  
 CODEN: ROCHAC  
 DT Journal  
 LA Polish  
 AB N-(N-Benzoyl-DL-phenylalanyl)-, N-carbobenzoxy-, N-benzoyl-,  
 N,N'-dicarbobenzoxy-, N,N'-dibenzoyl-S-methylisothioureas, and  
 N-carbobenzoxy-, N-benzoyl-O-methylisoureas were used for guanidylation  
 of  
 benzylamine, 2-phenylethylamine, NH3, amino acids, and their amides. The  
 following compds. were reported (compd., m.p., and % yield given):  
 N-carbobenzoxy-N'-(2-phenylethyl)guanidine, 104.degree., 44;  
 N-carbobenzoxy-N'-benzylguanidine, 167.degree., 57; N-(N-  
 carbobenzoxyamidino)glycylbenzylamine, 160.degree., 81;  
 N-[N-(N-benzoyl-DL-phenylalanyl)amidino]glycylbenzylamine, 95.degree.,  
 63;  
 N,N'-dibenzoyl-N''-(2-phenylethyl)guanidine, 126-7.degree., 76;  
 N,N'-dibenzoyl-N''-benzylguanidine, 161.degree., 81; N-carbobenzoxyguani-  
 dine, 147-8.degree., 90; N-(N-carbobenzoxyamidino)-DL-phenylalanine,  
 161.degree., 87; N-(N-carbobenzoxyamidino)glycine, >240.degree., 70;  
 N-(N-benzoylamidino)glycine, >320.degree., 41.  
 IT 22102-74-5P 23121-41-7P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of)  
 RN 22102-74-5 CAPLUS  
 CN Guanidine, 1,2-dibenzoyl-3-phenethyl- (8CI) (CA INDEX NAME)



RN 23121-41-7 CAPLUS  
 CN Guanidine, 1,2-dibenzoyl-3-benzyl- (8CI) (CA INDEX NAME)



1.5 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1969:3394 CAPLUS

DN 70-3394

TI Synthesis and pharmacological properties of some acyl derivatives of benzylguanidine

AU Semukhina, G. V.; Sharmova, Z. I.; Mikhailova, T. V.; Mukhina, N. A.; Gilev, A. P.

CS Novokuznetsk. Nauch.-Issled. Khim.-Farm. Inst., Novokuznetsk, USSR

SO Khim.-Farm. Zh. (1968), 2(8), 22-5

CODEN: KHFZAN

DT Journal

LA Russian  
 AB Seven pharmacol. active N-benzyl-N'-acylguanidines [PhCH<sub>2</sub>-NHC(:NH.HX)NHR] (I) were synthesized by refluxing 0.01 mole benzylguanidine sulfate and 0.04 mole of the corresponding acid chloride 6-12 hrs. at 80-100.degree.. The I prepd. were (R, HX, m.p., and % yield given): Bz, H<sub>2</sub>SO<sub>4</sub>, 217-18.degree., 60.5; 4-MeOC<sub>6</sub>H<sub>4</sub>CO, H<sub>2</sub>SO<sub>4</sub>, 181-3.degree., 82.2; 3,4,5-(MeO)C<sub>6</sub>H<sub>2</sub>CO, H<sub>2</sub>SO<sub>4</sub>, 235-6.degree., 50.8; p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>, H<sub>2</sub>SO<sub>4</sub>, 178-80.degree., 52.3; valeroyl, HCl, 220-2.degree., 69.9; isovaleroyl, H<sub>2</sub>SO<sub>4</sub>, 168-70.degree., 54.5; Me<sub>3</sub>CCO, HCl, 137-9.degree., 50.

TT 20801-63-2P 20801-64-3P 20801-65-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of)

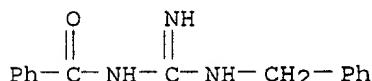
BN 20801-63-2 CAPLUS

CN Benzamide, N-(benzylamidino)-, sulfate (2:1) (8CI) (CA INDEX NAME)

CM 1

CRN 18787-57-0

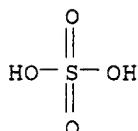
CME C15 H15 N3 0



CM 2

CRN 7664-93-9

CMF H2 94 S

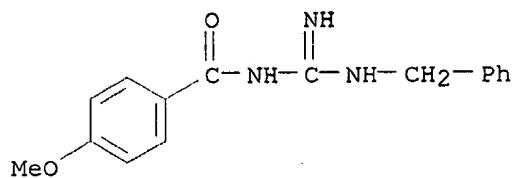


RN 20801-64-3 CAPLUS

CN p-Anisamide, N-(benzylamidino)-, sulfate (2:1) (8CI) (CA INDEX NAME)

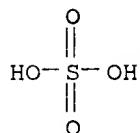
CM 1

CRN 18787-58-1  
CMF C16 H17 N3 O2



CM 2

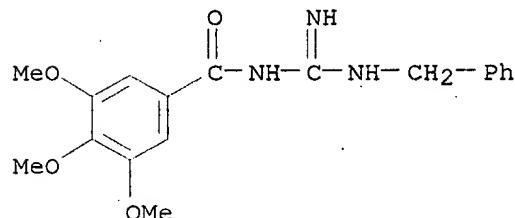
CRN 7664-93-9  
CMF H2 O4 S



RN 20801-65-4 CAPLUS  
CN Benzamide, N-(benzylamidino)-3,4,5-trimethoxy-, sulfate (2:1) (8CI) (CA INDEX NAME)

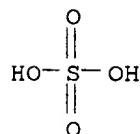
CM 1

CRN 18787-59-2  
CMF C18 H21 N3 O4



CM 2

CRN 7664-93-9  
CMF H2 O4 S



L5 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2001 ACS

AN 1967:500033 CAPLUS

DN 67:100033

TI Preparation and reactions of  
N-(C-chloro-S-chlorothiomethylene)carboxamide  
s and their derivatives

AU Neidlein, Richard; Haussmann, Walter

CS Inst. Pharm. Chem. Lebensmittelchem., Univ., Marburg/Lahn, Ger.

SO Arch. Pharm. Ber. Dtsch. Pharm. Ges. (1967), 300(7), 609-15

CODEN: APRDAJ

DT Journal

LA German

AB Passing Cl 3 hrs. at room temp. into a soln. of 5.1 g.  
 o-MeOC<sub>6</sub>H<sub>4</sub>CONHC(S)SEt in 150 cc. CH<sub>2</sub>Cl<sub>2</sub> and distg. the residue gave 87%  
 o-MeOC<sub>6</sub>H<sub>4</sub>CONCl<sub>2</sub> (I), b<sub>0</sub>.02 97-100.degree., oil; likewise obtained was 86%  
 of the meta isomer of I, b<sub>0</sub>.01 79-81.degree.. Mixing equimolar solns. of  
 BzNCS and Cl in CC<sub>1</sub>4, followed by storage in a stoppered flask gave after  
 several weeks 68% RN:C(SCl)Cl (R = Bz) (II), m. 84-6.degree.  
 (cyclohexane). Similarly prep'd. were III (R, % yield, and m.p. given):  
 p-tolyl, 63, 96-7.degree.; p-ClC<sub>6</sub>H<sub>4</sub>, 70, 115-16.degree.. Stirring 2.34

q.

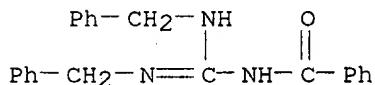
II in 60 cc. C6H6 with 4.29 g. o-toluidine 6 hrs. at room temp. gave 93% RCON:C(NHR1)SNHR1 (III) (R = Ph, R1 = o-MeC6H4), m. 120-1.degree.. Similarly prep'd. were III (R, R1, % yield, and m.p. given): p-MeC6H4, C6H11, 83, 206-7.degree.; p-ClC6H4, p-MeC6H4, 90, 215-16.degree.. To a suspension of 0.8 g. finely powd. NaOH in a mixt. of 0.86 g. (CH2NH2)2 in 10 cc. C6H6 was added dropwise with ice-cooling 2.02 g. BzCONCl2 (IV) in 10 cc. C6H6 to give after 2 hrs. stirring at room temp. 88% N-(bis(ethylenimino)methylene)benzamide, m. 119-21.degree. (Et2O). Treatment of Br2C:NN:CBr2 and 1.6 g. NaOH in 20 cc. tetrahydrofuran with 3.44 g. ethylenimine gave under similar conditions 68% tetra(1-aziridinyl)-2,3-diazabutadiene, m. 134-5.degree. (AcOEt). PhCH2NH2 (4.29 g.) and 2.02 g. IV in C6H6 gave 90% RCON:C(NHR1)2 (V) (R = Ph, R1 = PhCH2), m. 133-4.degree.. Also prep'd. were V (R, R1, % yield, and m.p. given): p-ClC6H4, p-MeC6H4, 77, 143-4.degree.; m-MeOC6H4, p-MeC6H4, 80, 106-7.degree.; o-MeOC6H4, p-MeC6H4, 83, 159-60.degree.; p-MeC6H4, PhCH2, 81, 129-30.degree.; p-MeC6H4, cyclohexyl, 83, 140-1.degree.. Solns. of 3.03 g. IV and 1.17 g. CH2OHCH2SH each in 5 cc. AcOEt were mixed and added to an ice-cold mixt. of 20 cc. pyridine-AcOEt (1:1). Working up after 2 hrs. stirring gave 77% 2-benzoylimino-1,3-oxathiole, m. 52-3.degree.. Similarly obtained was 80% 2-(p-chlorobenzoylimino)-1,3-oxathiole, m. 135-6.degree. (EtOH). Likewise, o-C6H4(OH)2 and IV gave 88% 2-benzoylimino-1,3-benzodioxole (VI), m. 135-6.degree. (EtOH).

IT 16565-12-1B 16565-24-5B

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prep'n of)

BN 16565-12-1 CAPLUS

Benzamide. N-[bis(benzylamino)methylene]- (8CI) (CA INDEX NAME)



RN 16565-24-5 CAPLUS

CN p-Toluamide, N-[bis(benzylamino)methylene]- (8CI) (CA INDEX NAME)

